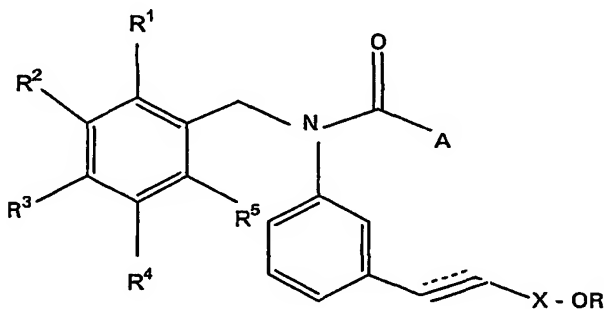


That which is claimed is:

1. A method for modulating process(es) mediated by farnesoid X receptor polypeptides, said method comprising conducting said process(es) in the presence of an effective amount of at least one compound having the structure:



wherein:

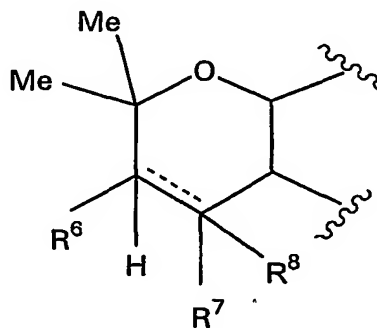
A is a C3 up to C8 branched chain alkyl or substituted alkyl group, a C3 up to C7 cycloalkyl or substituted cycloalkyl, an optionally substituted aryl or an optionally substituted heteroaryl,

X is  $-C(O)-$  or  $-CH_2-$ ,

R is methyl or ethyl,

$R^1$  is H, hydroxy, alkoxy, benzyloxy, mesityloxy, or  $-OCH_2C(O)OC_2H_5$ ,

$R^2$  is H or  $R^2$  can cooperate with  $R^3$  to form a benzopyran, wherein the pyran ring has the structure:



wherein:

$R^6$  is not present if the pyran ring is unsaturated, or, if present, is selected from H, -OR, wherein R is alkyl or acyl, or  $R^6$  can cooperate with  $R^7$  to form a cyclic acetal, a cyclic ketal, or a cyclopropyl moiety, and

only one of  $R^7$  and  $R^8$  is present if the pyran ring is unsaturated, or  $R^7$  and  $R^8$  are independently H, carboxyl, cyano, hydroxy, alkoxy, thioalkyl, aryl, or  $R^7$  and  $R^8$  taken together comprise a carbonyl oxygen or an oxime nitrogen, or either  $R^7$  or  $R^8$  can cooperate with  $R^6$  to form a cyclic acetal, a cyclic ketal, or a cyclopropyl moiety,  $R^3$  can cooperate with  $R^2$  to form a benzopyran having the structure set forth above, or  $R^3$  is alkenyl, optionally substituted aryl or heteroaryl, or optionally substituted arylalkenyl or heteroarylalkenyl,

$R^4$  is H or hydroxy, and

$R^5$  is H, hydroxy, alkoxy or aryloxy.

2. The method of claim 1 wherein said process mediated by farnesoid X receptor is cholesterol metabolism.

3. The method of claim 1 wherein said process mediated by farnesoid X receptor is the regulation of lipid homeostasis.

4. The method of claim 1 wherein  $R^2$  and  $R^3$  cooperate to form a benzopyran.

5. The method of claim 4 wherein A is cyclopropyl, X is -C(O)-,  $R^1$  is methoxy,  $R^6$  and  $R^7$  are absent, and  $R^4$ ,  $R^5$  and  $R^8$  are hydrogen.

6. The method of claim 4 wherein A is cyclopropyl, X is -CH<sub>2</sub>-,  $R^1$  is methoxy,  $R^6$  and  $R^7$  are absent, and  $R^4$ ,  $R^5$  and  $R^8$  are hydrogen.

7. The method of claim 4 wherein A is cyclohexyl, X is -C(O)-,  $R^1$  is methoxy,  $R^6$  and  $R^7$  are absent, and  $R^4$ ,  $R^5$  and  $R^8$  are hydrogen.

8. The method of claim 4 wherein A is phenyl, X is -C(O)-,  $R^1$  is methoxy,  $R^6$  and  $R^7$  are absent, and  $R^4$ ,  $R^5$  and  $R^8$  are hydrogen.

9. The method of claim 4 wherein A is phenyl, X is -C(O)-, R<sup>1</sup> is methoxy, R<sup>6</sup> and R<sup>7</sup> cooperate to form a dichlorocyclopropyl ring, and R<sup>4</sup>, R<sup>5</sup> and R<sup>8</sup> are hydrogen.
10. The method of claim 4 wherein A is cyclohexyl, X is -C(O)-, R<sup>1</sup> is methoxy, R<sup>6</sup> and R<sup>7</sup> cooperate to form a dichlorocyclopropyl ring, and R<sup>4</sup>, R<sup>5</sup> and R<sup>8</sup> are hydrogen.
11. The method of claim 1 wherein R<sup>3</sup> is alkenyl.
12. The method of claim 11 wherein A is cyclohexyl, X is -C(O)-, R<sup>1</sup> R<sup>2</sup>, R<sup>4</sup> and R<sup>5</sup> are hydrogen, and R<sup>3</sup> is -CH=CH-C(O)-O-tBu.
13. The method of claim 1 wherein R<sup>3</sup> is optionally substituted aryl or heteroaryl.
14. The method of claim 13 wherein A is cyclohexyl, X is -C(O)-, R<sup>1</sup> R<sup>2</sup>, R<sup>4</sup> and R<sup>5</sup> are hydrogen, and R<sup>3</sup> is phenyl.
15. The method of claim 13 wherein A is cyclohexyl, X is -C(O)-, R<sup>1</sup> R<sup>2</sup>, R<sup>4</sup> and R<sup>5</sup> are hydrogen, and R<sup>3</sup> is p-thiomethyl-phenyl.
16. The method of claim 13 wherein A is cyclohexyl, X is -C(O)-, R<sup>1</sup> R<sup>2</sup>, R<sup>4</sup> and R<sup>5</sup> are hydrogen, and R<sup>3</sup> is m-methoxy-phenyl.
17. The method of claim 13 wherein A is cyclohexyl, X is -C(O)-, R<sup>1</sup> R<sup>2</sup>, R<sup>4</sup> and R<sup>5</sup> are hydrogen, and R<sup>3</sup> is m-acetyl-phenyl.
18. The method of claim 13 wherein A is cyclohexyl, X is -C(O)-, R<sup>1</sup> R<sup>2</sup>, R<sup>4</sup> and R<sup>5</sup> are hydrogen, and R<sup>3</sup> is 5-methyl-2-thiophene-yl.
19. The method of claim 13 wherein A is cyclohexyl, X is -C(O)-, R<sup>1</sup> R<sup>2</sup>, R<sup>4</sup> and R<sup>5</sup> are hydrogen, and R<sup>3</sup> is 5-acetyl-2-thiophene-yl.

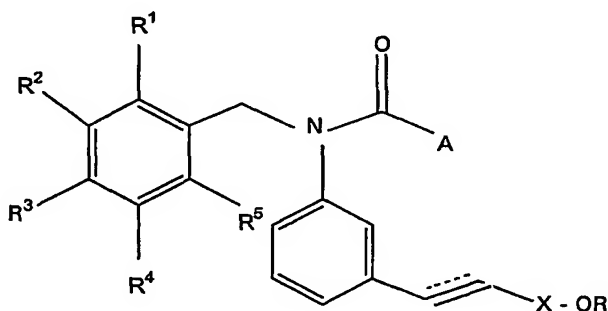
20. The method of claim 13 wherein A is cyclohexyl, X is -C(O)-,  $R^1$ ,  $R^2$ ,  $R^4$  and  $R^5$  are hydrogen, and  $R^3$  is 4-dimethylamino-phenyl.
21. The method of claim 13 wherein A is isopropyl, X is -C(O)-,  $R^1$ ,  $R^2$ ,  $R^4$  and  $R^5$  are hydrogen, and  $R^3$  is 4-dimethylamino-phenyl.
22. The method of claim 13 wherein A is cyclohexyl, X is -C(O)-,  $R^1$ ,  $R^2$ ,  $R^4$  and  $R^5$  are hydrogen, and  $R^3$  is 2,3-(O-CH<sub>2</sub>-O)-phenyl.
23. The method of claim 13 wherein A is isopropyl, X is -C(O)-,  $R^1$ ,  $R^2$ ,  $R^4$  and  $R^5$  are hydrogen, and  $R^3$  is 2,3-(O-CH<sub>2</sub>-O)-phenyl.
24. The method of claim 1 wherein  $R^3$  is or optionally substituted arylalkenyl or heteroarylalkenyl.
25. The method of claim 24 wherein A is cyclohexyl, X is -C(O)-,  $R^1$ ,  $R^2$ ,  $R^4$  and  $R^5$  are hydrogen, and  $R^3$  is -CH=CH-phenyl.
26. The method of claim 24 wherein A is isopropyl, X is -C(O)-,  $R^1$ ,  $R^2$ ,  $R^4$  and  $R^5$  are hydrogen, and  $R^3$  is -CH=CH-phenyl.
27. The method of claim 24 wherein A is cyclohexyl, X is -C(O)-,  $R^1$ ,  $R^2$ ,  $R^4$  and  $R^5$  are hydrogen, and  $R^3$  is -CH=CH-p-methoxy-phenyl.
28. The method of claim 24 wherein A is cyclohexyl, X is -C(O)-,  $R^1$ ,  $R^2$ ,  $R^4$  and  $R^5$  are hydrogen, and  $R^3$  is -CH=CH-o-fluoro-phenyl.
29. The method of claim 24 wherein A is isopropyl, X is -C(O)-,  $R^1$ ,  $R^2$ ,  $R^4$  and  $R^5$  are hydrogen, and  $R^3$  is -CH=CH-o-fluoro-phenyl.
30. The method of claim 24 wherein A is cyclohexyl, X is -C(O)-,  $R^1$ ,  $R^2$ ,  $R^4$  and  $R^5$  are hydrogen, and  $R^3$  is -CH=CH-m-fluoro-phenyl.

31. The method of claim 24 wherein A is isopropyl, X is -C(O)-, R<sup>1</sup> R<sup>2</sup>, R<sup>4</sup> and R<sup>5</sup> are hydrogen, and R<sup>3</sup> is -CH=CH-m-fluoro-phenyl.

32. The method of claim 24 wherein A is cyclohexyl, X is -C(O)-, R<sup>1</sup> R<sup>2</sup>, R<sup>4</sup> and R<sup>5</sup> are hydrogen, and R<sup>3</sup> is -CH=CH-p-fluoro-phenyl.

33. The method of claim 24 wherein A is isopropyl, X is -C(O)-, R<sup>1</sup> R<sup>2</sup>, R<sup>4</sup> and R<sup>5</sup> are hydrogen, and R<sup>3</sup> is -CH=CH-p-fluoro-phenyl.

36. A method for the treatment of hypercholesteremia, said method comprising administering to a subject in need thereof an effective amount of at least one compound having the structure:



wherein:

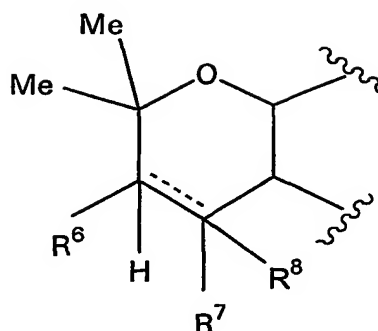
A is a C3 up to C8 branched chain alkyl or substituted alkyl group, a C3 up to C7 cycloalkyl or substituted cycloalkyl, an optionally substituted aryl or an optionally substituted heteroaryl,

X is -C(O)- or -CH<sub>2</sub>-,

R is methyl or ethyl,

R<sup>1</sup> is H, hydroxy, alkoxy, benzyloxy, mesityloxy, or -OCH<sub>2</sub>C(O)OC<sub>2</sub>H<sub>5</sub>,

R<sup>2</sup> is H or R<sup>2</sup> can cooperate with R<sup>3</sup> to form a benzopyran, wherein the pyran ring has the structure:



wherein:

$R^6$  is not present if the pyran ring is unsaturated, or, if present, is selected from H, -OR, wherein R is alkyl or acyl, or  $R^6$  can cooperate with  $R^7$  to form a cyclic acetal, a cyclic ketal, or a cyclopropyl moiety, and

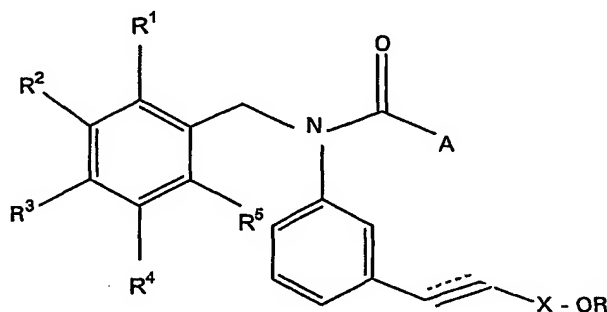
only one of  $R^7$  and  $R^8$  is present if the pyran ring is unsaturated, or  $R^7$  and  $R^8$  are independently H, carboxyl, cyano, hydroxy, alkoxy, thioalkyl, aryl, or  $R^7$  and  $R^8$  taken together comprise a carbonyl oxygen or an oxime nitrogen, or either  $R^7$  or  $R^8$  can cooperate with  $R^6$  to form a cyclic acetal, a cyclic ketal, or a cyclopropyl moiety,

$R^3$  can cooperate with  $R^2$  to form a benzopyran having the structure set forth above, or  $R^3$  is alkenyl, optionally substituted aryl or heteroaryl, or optionally substituted arylalkenyl or heteroarylalkenyl,

$R^4$  is H or hydroxy, and

$R^5$  is H, hydroxy, alkoxy or aryloxy.

37. A method for the treatment of cholestasis, said method comprising administering to a subject in need thereof an effective amount of at least one compound having the structure:



wherein:

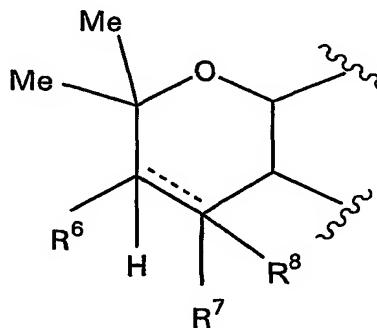
A is a C3 up to C8 branched chain alkyl or substituted alkyl group, a C3 up to C7 cycloalkyl or substituted cycloalkyl, an optionally substituted aryl or an optionally substituted heteroaryl,

X is  $-\text{C}(\text{O})-$  or  $-\text{CH}_2-$ ,

R is methyl or ethyl,

$\text{R}^1$  is H, hydroxy, alkoxy, benzyloxy, mesityloxy, or  $-\text{OCH}_2\text{C}(\text{O})\text{OC}_2\text{H}_5$ ,

$\text{R}^2$  is H or  $\text{R}^2$  can cooperate with  $\text{R}^3$  to form a benzopyran, wherein the pyran ring has the structure:



wherein:

$R^6$  is not present if the pyran ring is unsaturated, or, if present, is selected from H, -OR, wherein R is alkyl or acyl, or  $R^6$  can cooperate with  $R^7$  to form a cyclic acetal, a cyclic ketal, or a cyclopropyl moiety, and

only one of  $R^7$  and  $R^8$  is present if the pyran ring is unsaturated, or  $R^7$  and  $R^8$  are independently H, carboxyl, cyano, hydroxy, alkoxy, thioalkyl, aryl, or  $R^7$  and  $R^8$  taken together comprise a carbonyl oxygen or an oxime nitrogen, or either  $R^7$  or  $R^8$  can cooperate with  $R^6$  to form a cyclic acetal, a cyclic ketal, or a cyclopropyl moiety,

$R^3$  can cooperate with  $R^2$  to form a benzopyran having the structure set forth above, or  $R^3$  is alkenyl, optionally substituted aryl or heteroaryl, or optionally substituted arylalkenyl or heteroarylalkenyl,

$R^4$  is H or hydroxy, and

$R^5$  is H, hydroxy, alkoxy or aryloxy.